

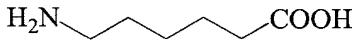
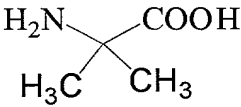
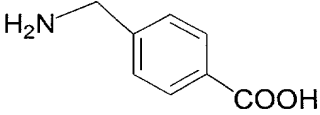
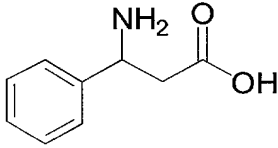
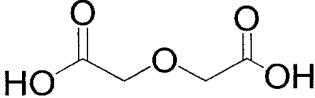
Symbol	Name	Structure
Aca	6-Aminocaproic Acid	
Aib	Aminoisobutyric Acid	
Amb	4-(Aminomethyl)benzoic Acid	
APP	3-Amino-3-phenylpropionic Acid	
Dg	Diglycolic Acid	

FIG. 1A

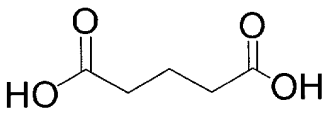
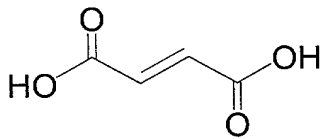
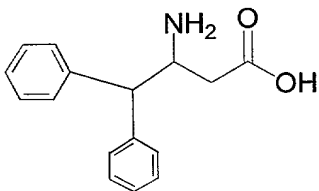
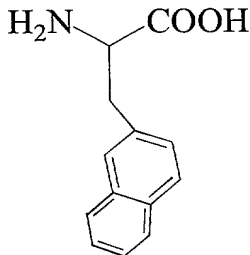
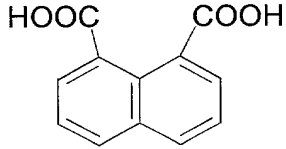
Symbol	Name	Structure
Gl	Glutaric Acid	
Mal	Maleic Acid	
NAA	3-Amino-4,4-diphenylbutyric Acid	
Nal	2-Naphthylalanine	
Naph	1,8-Naphthalene dicarboxylic Acid	

FIG. 1B

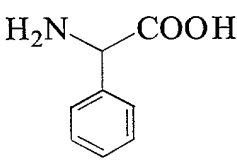
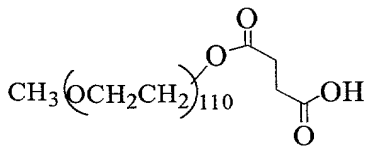
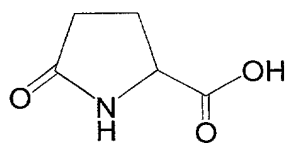
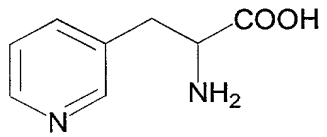
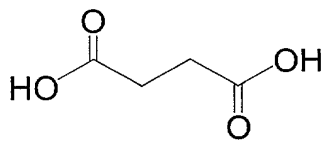
Symbol	Name	Structure
Phg	Phenylglycine	
PEG	Polyethylene Glycol ₅₀₀₀ Hemisuccinyl Ester	
Pyg	Pyroglutamic Acid	
Pyr	3-Pyridylalanine	
Suc	Succinic Acid	

FIG. 1C

Symbol	Name	Structure
Thi	2-Thienylalanine	
Thz	3-Thioproline or Thiazolidine-4-carboxylic Acid	
Tic	Tetrahydroisoquinoline-3- carboxylic Acid	

FIG. 1D

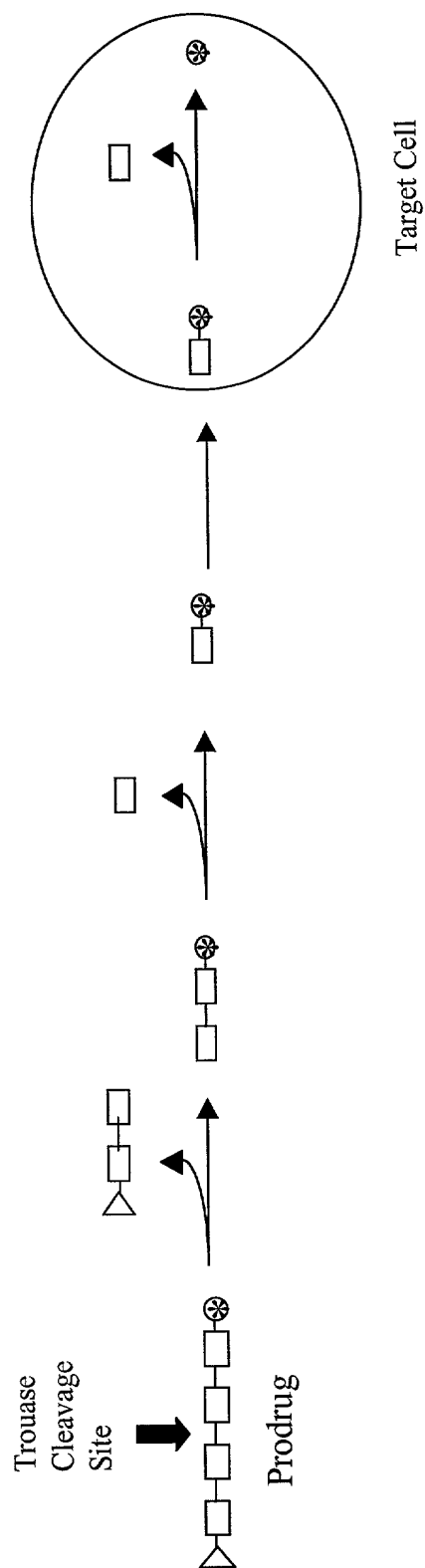


FIG. 2

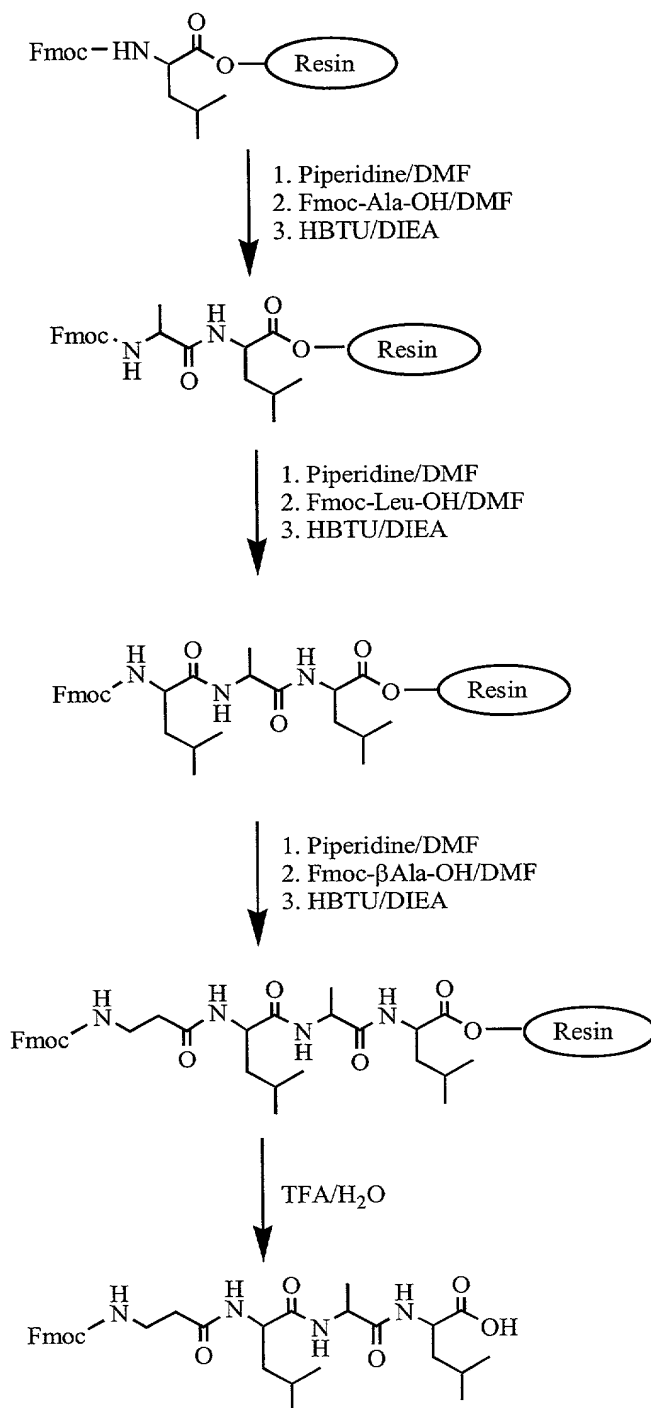


FIG. 3

7/23

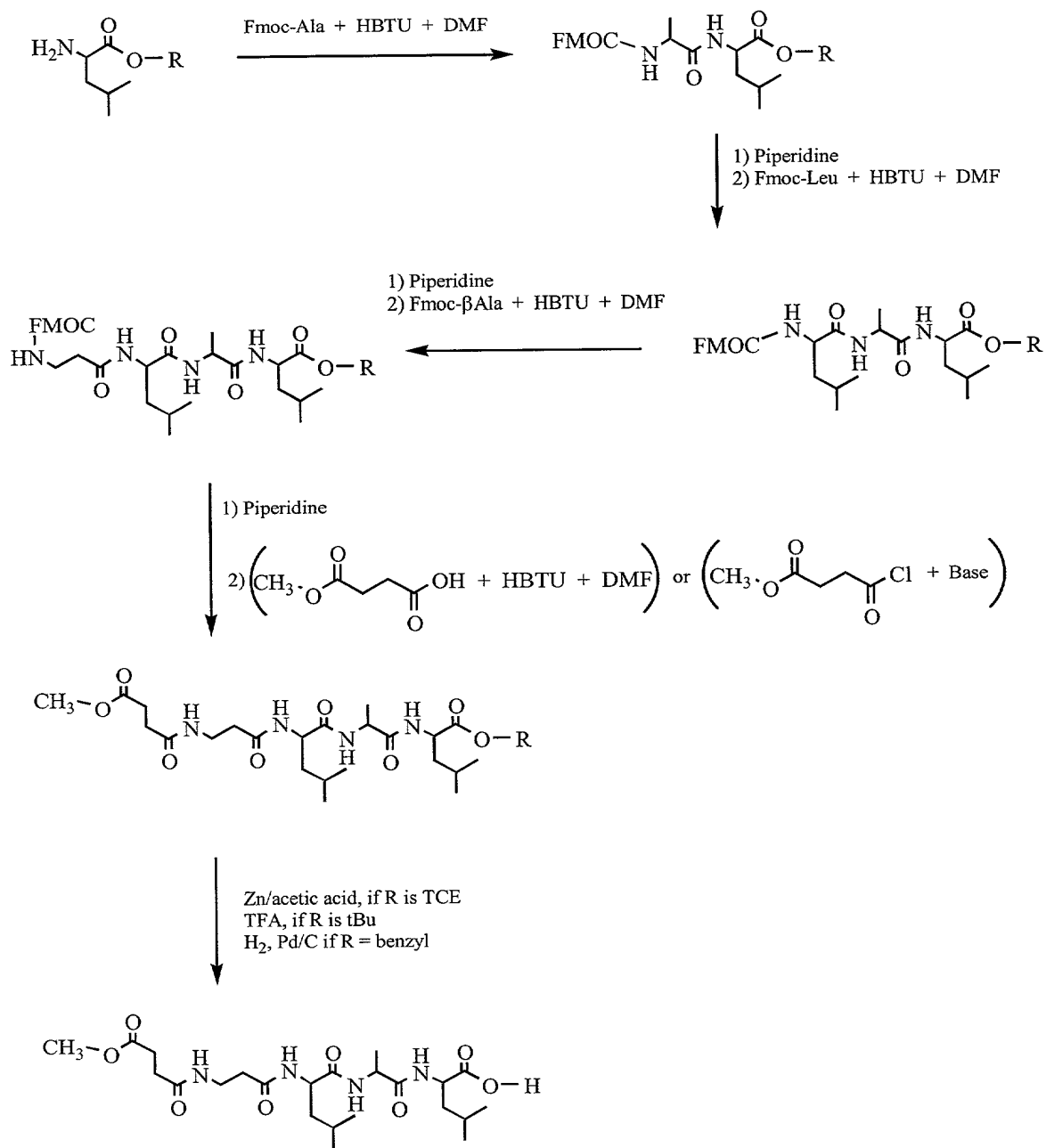
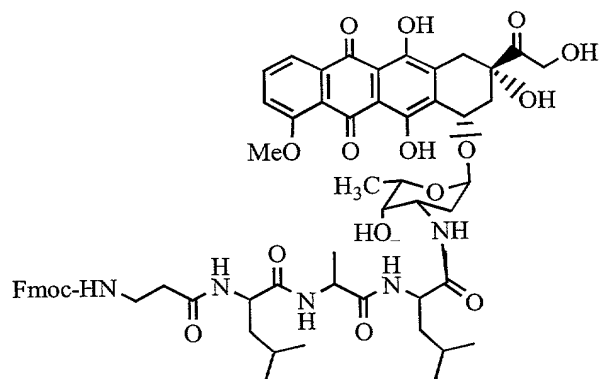
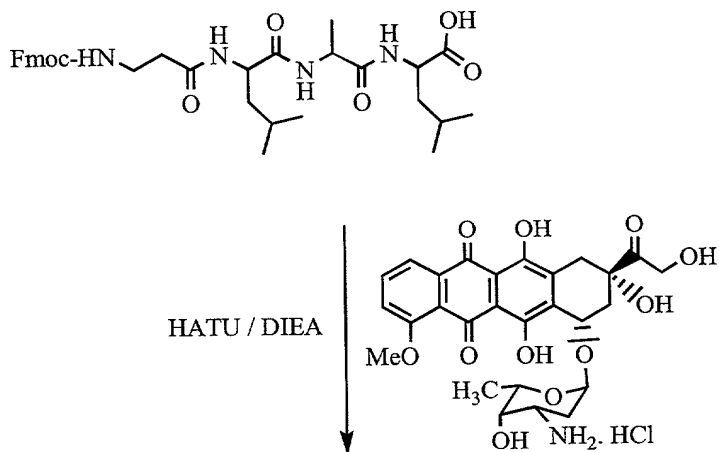


FIG. 4

8/23



1. Piperidine/ DMF
 2. Succinic anhydride
 3. NaHCO₃

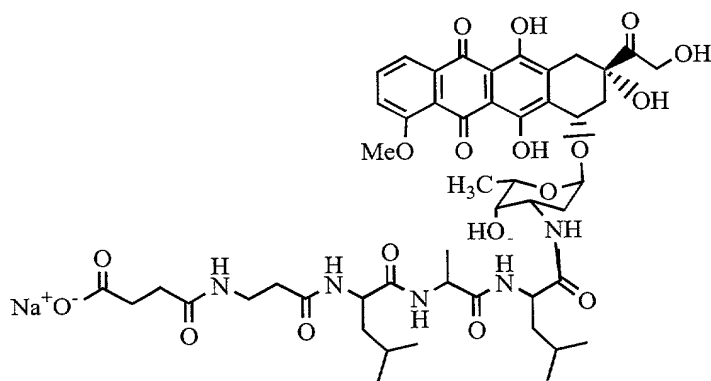


FIG. 5

9/23

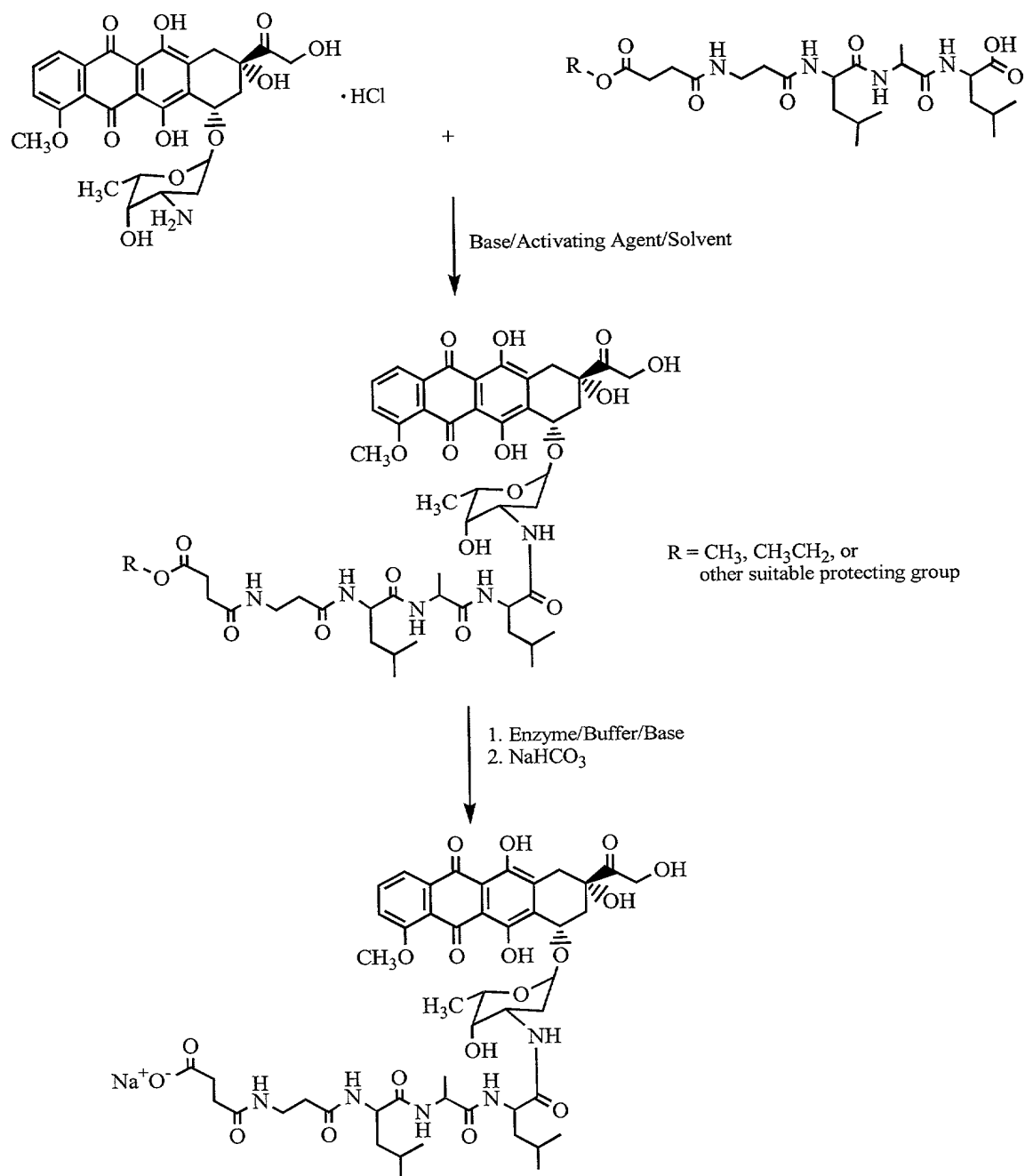
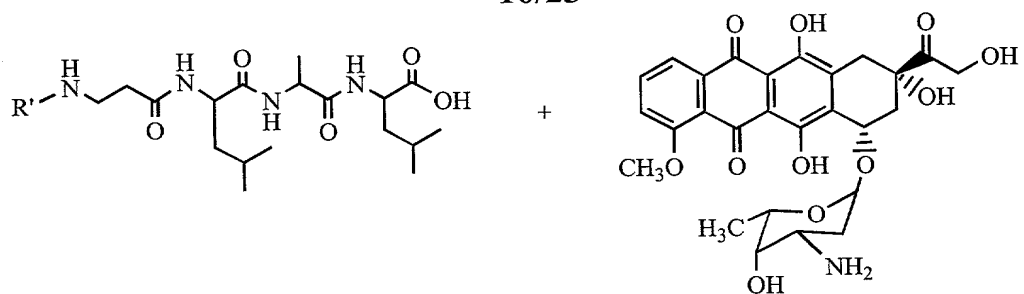
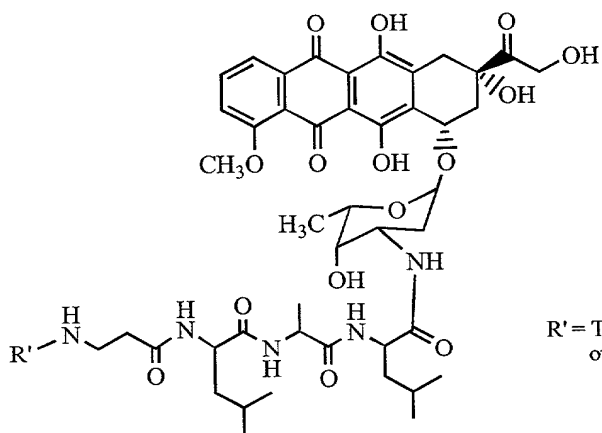


FIG.6

10/23



Coupling Agent/Solvent/Temperature



R' = Trityl or substituted Trityl, or
other suitable amino protecting group

FIG. 7

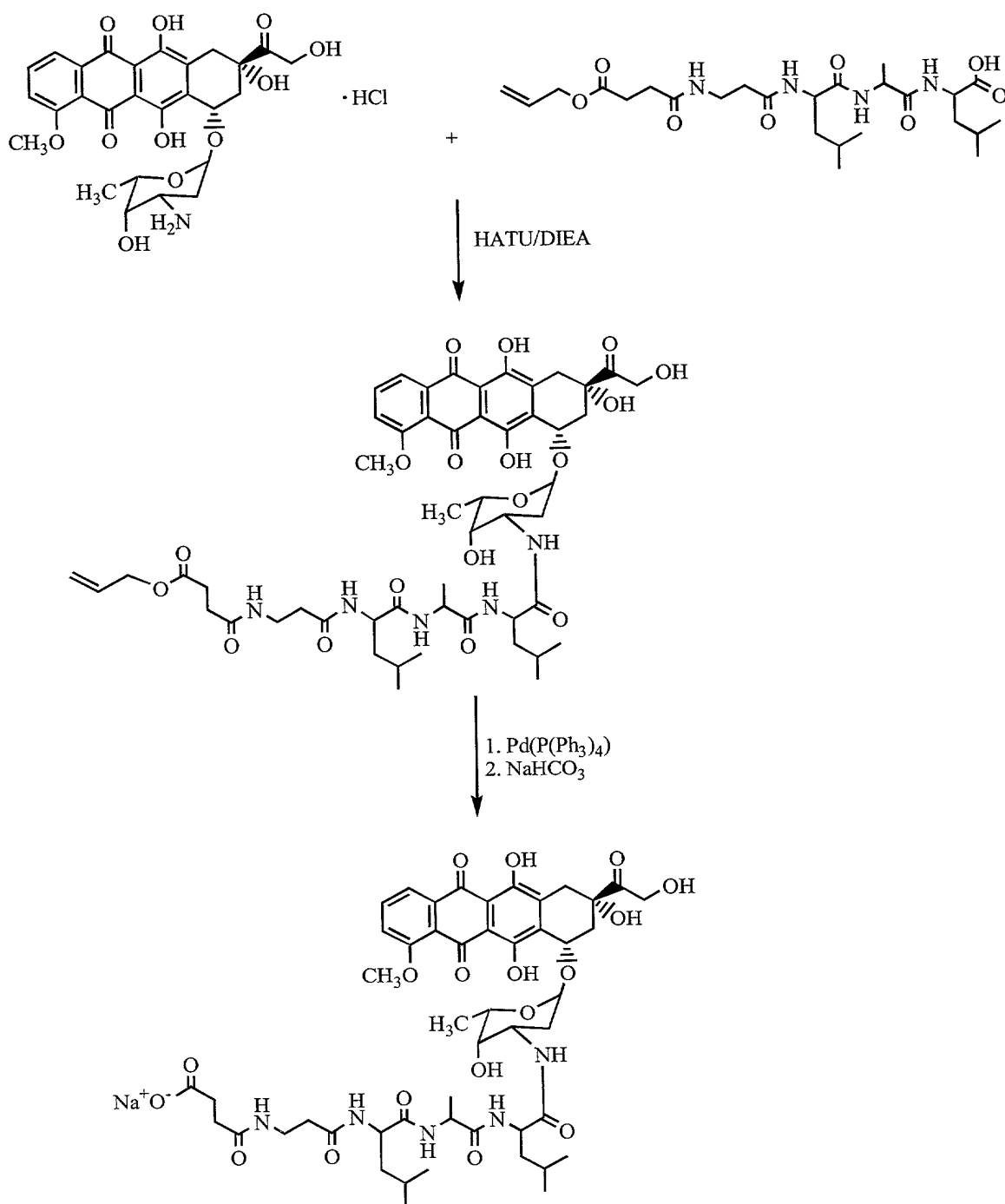
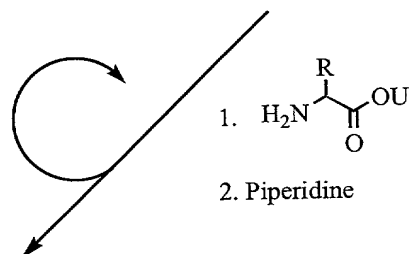
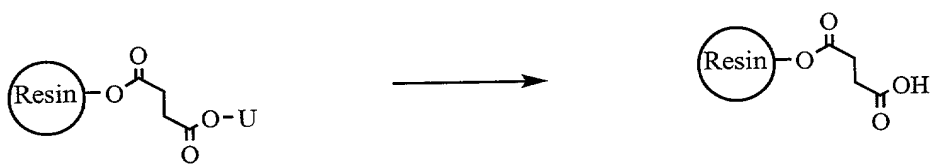


FIG. 8

12/23



U = Fluorenyl or any carboxyl protecting group

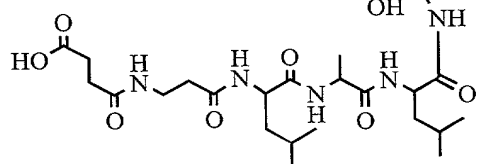
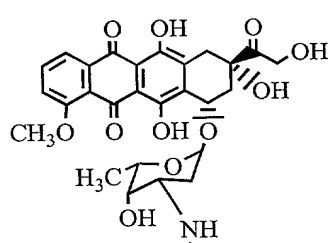
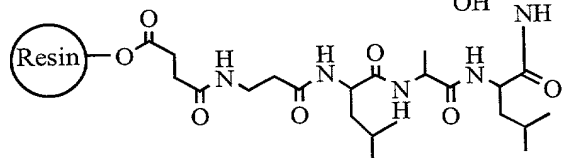
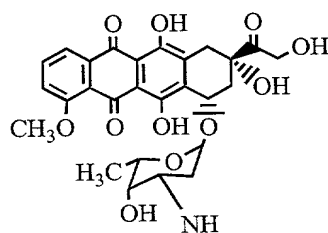
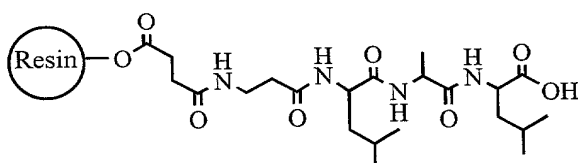


FIG. 9

No:	(AA ⁷)	(AA ⁶)	(AA ⁵)	(AA ⁴)	(AA ³)	(AA ²)	(AA ¹)	SEQ ID NO:
	P5	P4	P3	P2	P1	P1'	P2'	
1	D-Ala	Thi	βAla	βAla	Leu	Ala	Leu	SEQ ID NO: 1
2	Ø	Thi	βAla	βAla	Leu	Ala	Leu	SEQ ID NO: 2
3	Ø	Ø	βAla	βAla	Leu	Ala	Leu	SEQ ID NO: 3
4	Ø	Ø	Ø	βAla	Ala	Ala	Ile	SEQ ID NO: 4
5	Ø	Ø	Ø	βAla	Ala	Ala	Leu	SEQ ID NO: 5
6	Ø	Ø	Ø	βAla	Phe	Tyr	Leu	SEQ ID NO: 6
7	Ø	Ø	Ø	βAla	Phe	Thr	Phe	SEQ ID NO: 7
8	Ø	Ø	Ø	βAla	Phe	Gly	Ile	SEQ ID NO: 8
9	Ø	Ø	Ø	βAla	Phe	Gly	Leu	SEQ ID NO: 9
10	Ø	Ø	Ø	βAla	Phe	Phe	Phe	SEQ ID NO: 10
11	Ø	Ø	Ø	βAla	Phe	Phe	Ile	SEQ ID NO: 11
12	Ø	Ø	Ø	βAla	Phe	Phe	Leu	SEQ ID NO: 12
13	Ø	Ø	Ø	βAla	Phe	Ala	Ile	SEQ ID NO: 13
14	Ø	Ø	Ø	βAla	Phe	Ala	Leu	SEQ ID NO: 14
15	Ø	Ø	Ø	Thi	Gly	Ala	Leu	SEQ ID NO: 15
16	Ø	Ø	Ø	Nal	Gly	Ala	Leu	SEQ ID NO: 16
17	Ø	Ø	Ø	βAla	Leu	Tyr	Leu	SEQ ID NO: 17
18	Ø	Ø	Ø	βAla	Leu	Thi	Leu	SEQ ID NO: 18
19	Ø	Ø	Ø	βAla	Leu	Thr	Phe	SEQ ID NO: 19
20	Ø	Ø	Ø	βAla	Leu	Thr	Ile	SEQ ID NO: 20
21	Ø	Ø	Ø	βAla	Leu	Thr	Leu	SEQ ID NO: 21
22	Ø	Ø	Ø	βAla	Leu	Ser	Leu	SEQ ID NO: 22
23	Ø	Ø	Ø	βAla	Leu	Pyr	Leu	SEQ ID NO: 23
24	Ø	Ø	Ø	βAla	Leu	Leu	Leu	SEQ ID NO: 24
25	Ø	Ø	Ø	βAla	Leu	Gly	Phe	SEQ ID NO: 25
26	Ø	Ø	Ø	βAla	Leu	Gly	Ile	SEQ ID NO: 26
27	Ø	Ø	Ø	Thi	Leu	Gly	Leu	SEQ ID NO: 27
28	Ø	Ø	Ø	βAla	Leu	Gly	Leu	SEQ ID NO: 28
29	Ø	Ø	Ø	Aib	Leu	Gly	Leu	SEQ ID NO: 29
30	Ø	Ø	Ø	βAla	Leu	Phe	Ile	SEQ ID NO: 30
31	Ø	Ø	Ø	βAla	Leu	Phe	Leu	SEQ ID NO: 31
32	Ø	Ø	Ø	βAla	Leu	Aib	Leu	SEQ ID NO: 32
33	Ø	Ø	Ø	βAla	Leu	Ala	Ala	SEQ ID NO: 33
34	Ø	Ø	Ø	βAla	Leu	Ala	βAla	SEQ ID NO: 34
35	Ø	Ø	Ø	βAla	Leu	Ala	Phe	SEQ ID NO: 35
36	Ø	Ø	Ø	βAla	Leu	Ala	Gly	SEQ ID NO: 36
37	Ø	Ø	Ø	βAla	Leu	Ala	Ile	SEQ ID NO: 37
38	Ø	Ø	Ø	βAla	Leu	Ala	Leu	SEQ ID NO: 38
39	Ø	Ø	Ø	Tic	Leu	Ala	Leu	SEQ ID NO: 39
40	Ø	Ø	Ø	Thz	Leu	Ala	Leu	SEQ ID NO: 40

Ø = not present

FIG. 10A

No:	(AA ⁷)	(AA ⁶)	(AA ⁵)	(AA ⁴)	(AA ³)	(AA ²)	(AA ¹)	SEQ ID NO:
	P5	P4	P3	P2	P1	P1'	P2'	
41	Ø	Ø	Ø	Thi	Leu	Ala	Leu	SEQ ID NO: 41
42	Ø	Ø	Ø	Nal	Leu	Ala	Leu	SEQ ID NO: 42
43	Ø	Ø	Ø	NAA	Leu	Ala	Leu	SEQ ID NO: 43
44	Ø	Ø	Ø	D-Leu	Leu	Ala	Leu	SEQ ID NO: 44
45	Ø	Ø	Ø	D-Ala	Leu	Ala	Leu	SEQ ID NO: 45
46	Ø	Ø	Ø	D-Met	Leu	Ala	Leu	SEQ ID NO: 46
47	Ø	Ø	Ø	APP	Leu	Ala	Leu	SEQ ID NO: 47
48	Ø	Ø	Ø	Amb	Leu	Ala	Leu	SEQ ID NO: 48
49	Ø	Ø	Ø	βAla	Leu	Ala	Nal	SEQ ID NO: 49
50	Ø	Ø	Ø	βAla	Leu	Ala	Ser	SEQ ID NO: 50
51	Ø	Ø	Ø	βAla	Leu	Ala	Tyr	SEQ ID NO: 51
52	Ø	Ø	Ø	βAla	Met	Tyr	Phe	SEQ ID NO: 52
53	Ø	Ø	Ø	βAla	Met	Tyr	Leu	SEQ ID NO: 53
54	Ø	Ø	Ø	βAla	Met	Gly	Ile	SEQ ID NO: 54
55	Ø	Ø	Ø	Thi	Met	Gly	Leu	SEQ ID NO: 55
56	Ø	Ø	Ø	βAla	Met	Phe	Phe	SEQ ID NO: 56
57	Ø	Ø	Ø	βAla	Met	Phe	Ile	SEQ ID NO: 57
58	Ø	Ø	Ø	Tic	Met	Ala	Leu	SEQ ID NO: 58
59	Ø	Ø	Ø	Nal	Met	Ala	Leu	SEQ ID NO: 59
60	Ø	Ø	Ø	NAA	Met	Ala	Leu	SEQ ID NO: 60
61	Ø	Ø	Ø	βAla	Met	Ala	Leu	SEQ ID NO: 61
62	Ø	Ø	Ø	APP	Met	Ala	Leu	SEQ ID NO: 62
63	Ø	Ø	Ø	βAla	Nle	Tyr	Ile	SEQ ID NO: 63
64	Ø	Ø	Ø	βAla	Nle	Tyr	Leu	SEQ ID NO: 64
65	Ø	Ø	Ø	βAla	Nle	Thr	Ile	SEQ ID NO: 65
66	Ø	Ø	Ø	βAla	Nle	Thr	Leu	SEQ ID NO: 66
67	Ø	Ø	Ø	βAla	Nle	Gly	Phe	SEQ ID NO: 67
68	Ø	Ø	Ø	βAla	Nle	Gly	Ile	SEQ ID NO: 68
69	Ø	Ø	Ø	βAla	Nle	Gly	Leu	SEQ ID NO: 69
70	Ø	Ø	Ø	βAla	Nle	Phe	Ile	SEQ ID NO: 70
71	Ø	Ø	Ø	βAla	Nle	Ala	Ile	SEQ ID NO: 71
72	Ø	Ø	Ø	βAla	Nle	Ala	Leu	SEQ ID NO: 72
73	Ø	Ø	Ø	βAla	Nle	Ala	Phe	SEQ ID NO: 73
74	Ø	Ø	Ø	βAla	Nva	Ala	Leu	SEQ ID NO: 74
75	Ø	Ø	Ø	βAla	Phe	Tyr	Ile	SEQ ID NO: 75
76	Ø	Ø	Ø	Thi	Pro	Gly	Leu	SEQ ID NO: 76
77	Ø	Ø	Ø	Thi	Pro	Ala	Leu	SEQ ID NO: 77
78	Ø	Ø	Ø	Nal	Pro	Ala	Leu	SEQ ID NO: 78
79	Ø	Ø	Ø	βAla	Pro	Ala	Leu	SEQ ID NO: 79
80	Ø	Ø	Ø	βAla	Phe(Cl)	Ala	Leu	SEQ ID NO: 80

Ø = not present

FIG. 10B

No:	(AA ⁷)	(AA ⁶)	(AA ⁵)	(AA ⁴)	(AA ³)	(AA ²)	(AA ¹)	SEQ ID NO:
	P5	P4	P3	P2	P1	P1'	P2'	
81	Ø	Ø	Ø	βAla	Phe(NO ₂)	Ala	Ile	SEQ ID NO: 81
82	Ø	Ø	Ø	βAla	Phe(NO ₂)	Ala	Leu	SEQ ID NO: 82
83	Ø	Ø	Ø	βAla	Phg	Ala	Leu	SEQ ID NO: 83
84	Ø	Ø	Ø	βAla	Pyr	Ala	Leu	SEQ ID NO: 84
85	Ø	Ø	Ø	Tic	Thr	Gly	Leu	SEQ ID NO: 85
86	Ø	Ø	Ø	βAla	Thi	Gly	Ile	SEQ ID NO: 86
87	Ø	Ø	Ø	βAla	Thi	Ala	Leu	SEQ ID NO: 87
88	Ø	Ø	Ø	βAla	Tic	Ala	Ile	SEQ ID NO: 88
89	Ø	Ø	Ø	βAla	Tic	Ala	Leu	SEQ ID NO: 89
90	Ø	Ø	Ø	βAla	Val	Ala	Leu	SEQ ID NO: 90
91	Ø	Ø	Ø	βAla	Trp	Ala	Leu	SEQ ID NO: 91
92	Ø	Ø	Ø	βAla	Tyr	Tyr	Phe	SEQ ID NO: 92
93	Ø	Ø	Ø	βAla	Tyr	Tyr	Ile	SEQ ID NO: 93
94	Ø	Ø	Ø	βAla	Tyr	Tyr	Leu	SEQ ID NO: 94
95	Ø	Ø	Ø	βAla	Tyr	Thr	Leu	SEQ ID NO: 95
96	Ø	Ø	Ø	βAla	Tyr	Phe	Leu	SEQ ID NO: 96
97	Ø	Ø	Ø	βAla	Tyr	Gly	Ile	SEQ ID NO: 97
98	Ø	Ø	Ø	Thi	Tyr	Gly	Leu	SEQ ID NO: 98
99	Ø	Ø	Ø	βAla	Tyr	Gly	Leu	SEQ ID NO: 99
100	Ø	Ø	Ø	βAla	Tyr	Phe	Ile	SEQ ID NO: 100
101	Ø	Ø	Ø	βAla	Tyr	Ala	Ile	SEQ ID NO: 101
102	Ø	Ø	Ø	Thi	Tyr	Ala	Leu	SEQ ID NO: 102
103	Ø	Ø	Ø	βAla	Tyr	Ala	Leu	SEQ ID NO: 103

Ø = not present

FIG. 10C

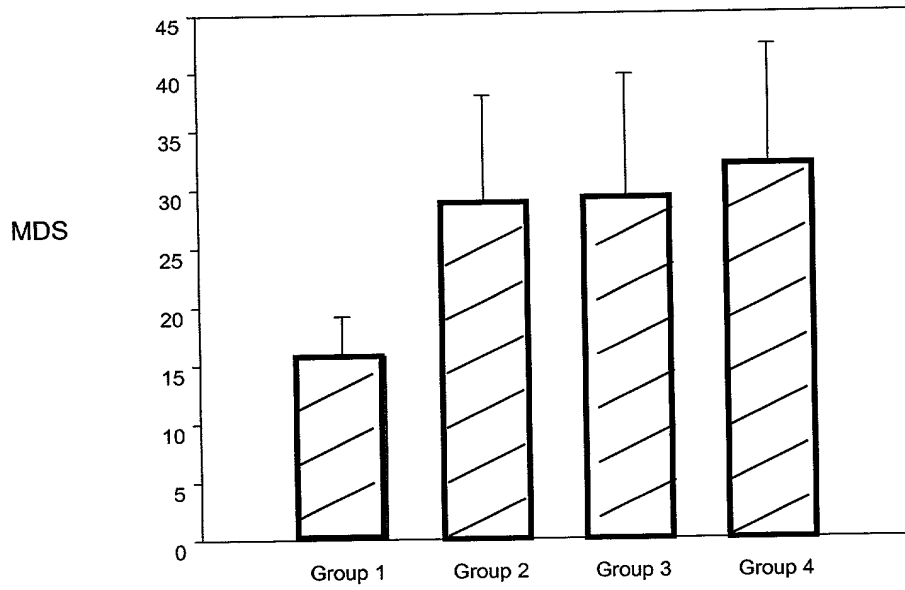


FIG. 11

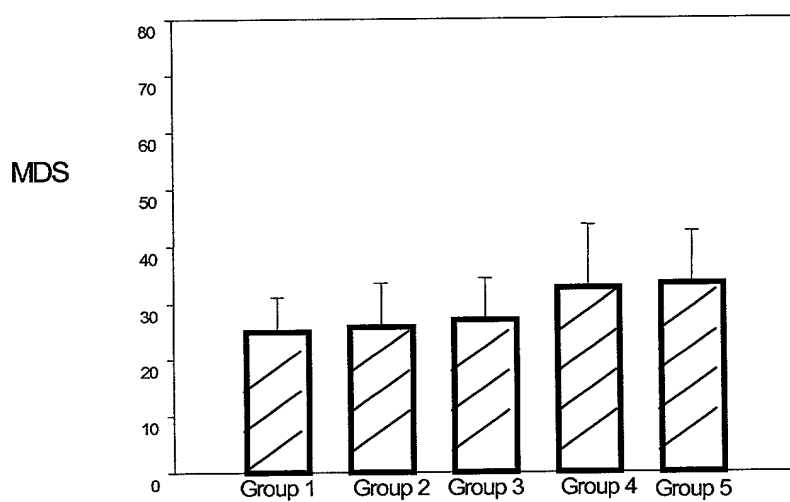


FIG. 12

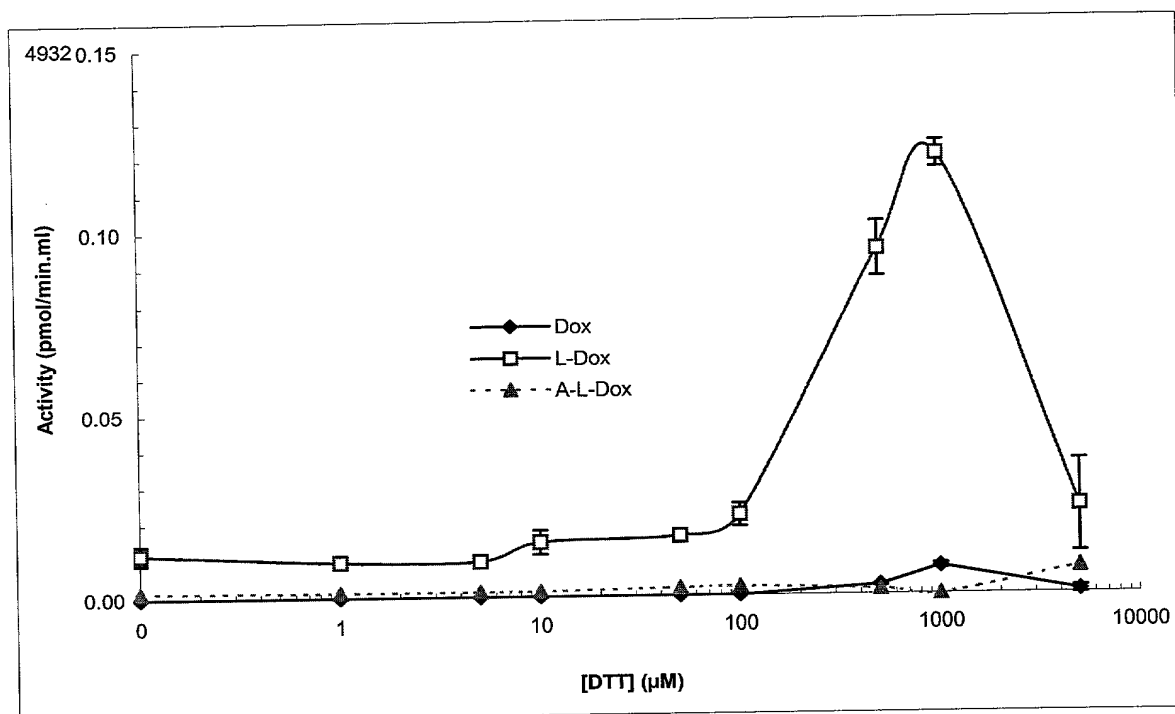


FIG. 13

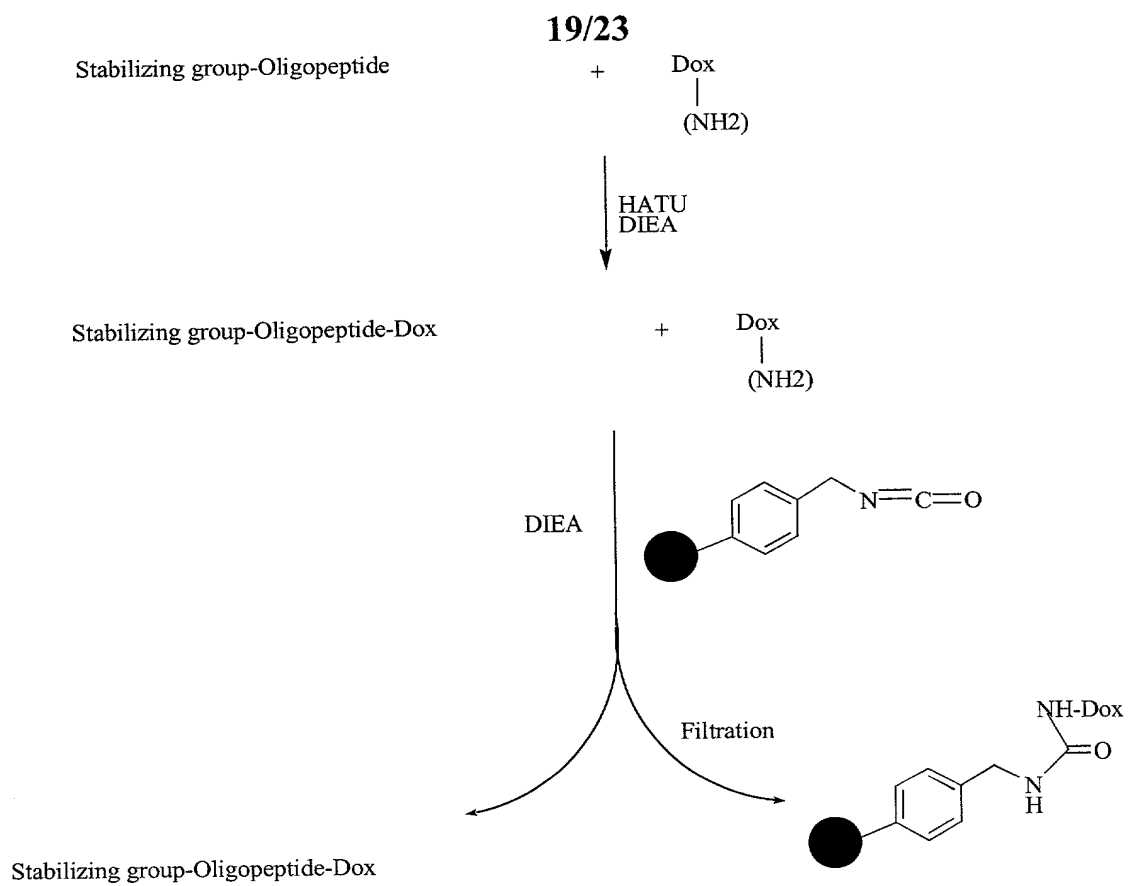


FIG. 14

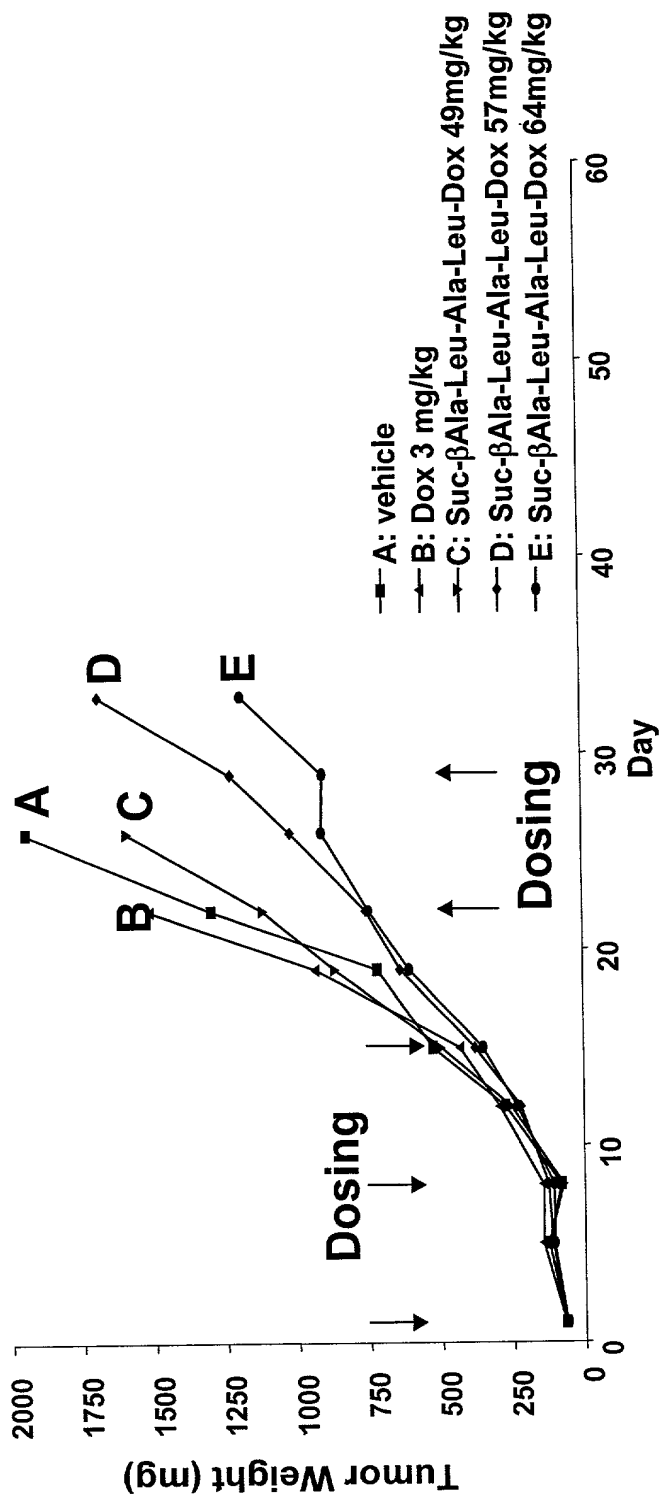


FIG. 15

21/23

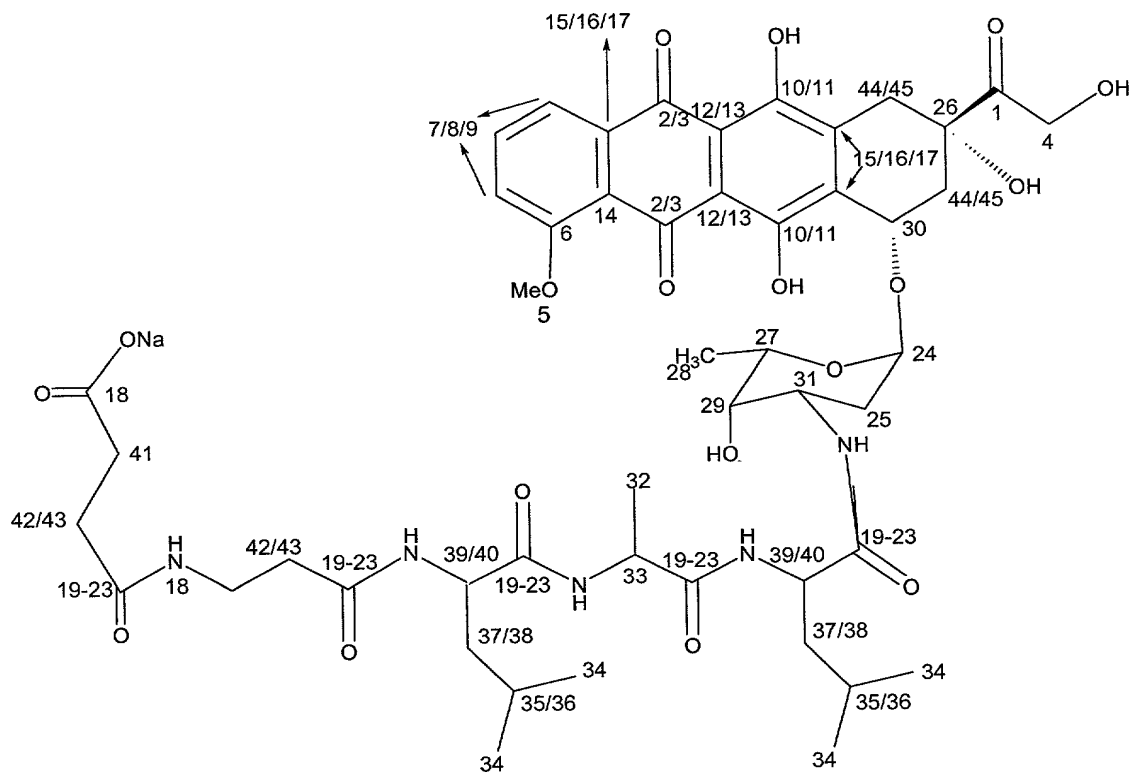


FIG. 16

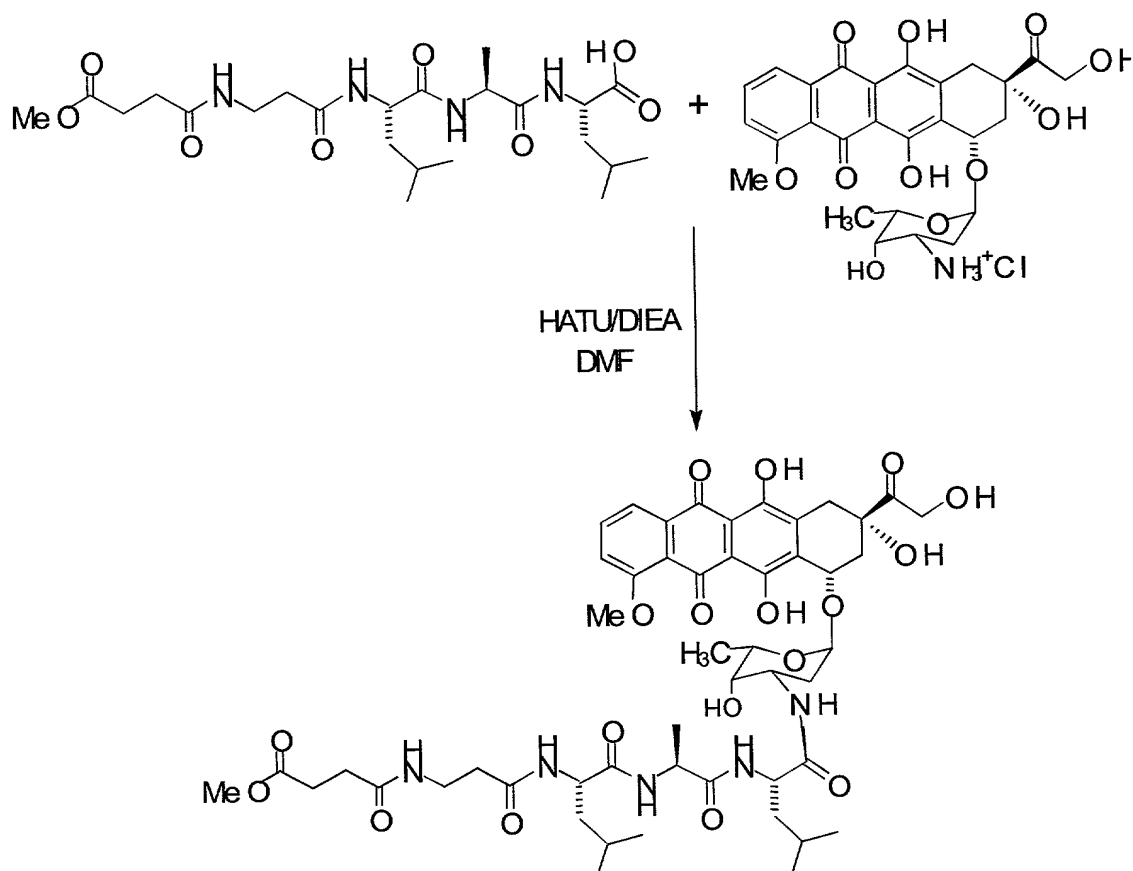


FIG. 17

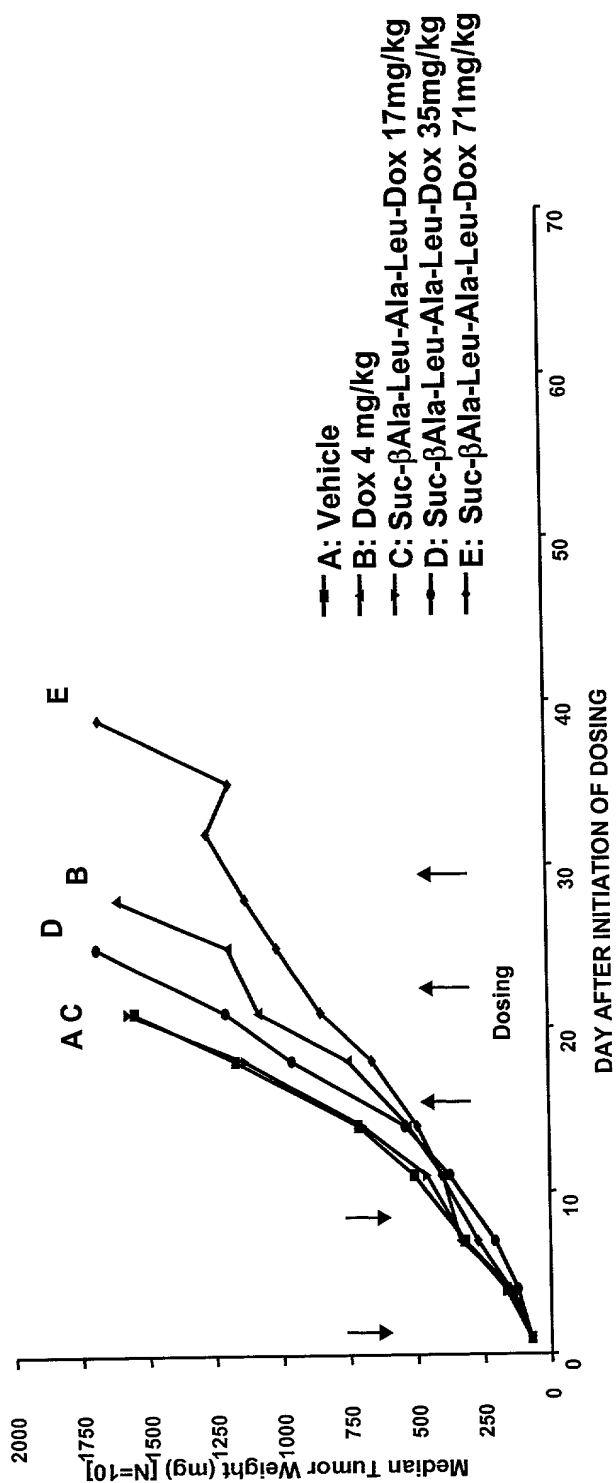


FIG. 18